TORTORA • FUNKE • CASE



Chapter 10, part A Antimicrobial Drugs

PowerPoint® Lecture Slide Presentation prepared by Christine L. Case

Antimicrobial Drugs

- Chemotherapy
- Antimicrobial drugs
- Antibiotic

Selective toxicity

The use of drugs to treat a disease

Interfere with the growth of microbes within a host

Substance produced by a microbe that, in small amounts, inhibits another microbe

A drug that kills harmful microbes without damaging the host

- 1928 Fleming discovered penicillin, produced by *Penicillium*.
- 1940 Howard Florey and Ernst Chain performed first clinical trials of penicillin.



TABLE 20.1 Representative Sources of Antibiotics					
Microorganism		Antibiotic			
Gram-Positiv	ve Rods				
Bacillus subtili	is	Bacitracin			
Bacillus polym	уха	Polymyxin			
Actinomycet	es				
Streptomyces	nodosus	Amphotericin B			
Streptomyces	venezuelae	Chloramphenicol			
Streptomyces	aureofaciens	Chlortetracycline and tetracycline			
Streptomyces	erythraeus	Erythromycin			
Streptomyces	fradiae	Neomycin			
Streptomyces	griseus	Streptomycin			
Micromonosp	ora purpureae	Gentamicin			
Fungi					
Cephalosporium spp.		Cephalothin			
Penicillium gri	iseofulvum	Griseofulvin			
Penicillium notatum		Penicillin			

TABLE 20.2	The Spectrum of Activity of Antibiotics and Other Antimicrobial Drugs							
Prokaryotes			Eukaryotes					
Mycobacteria*	Gram-Negative Bacteria	Gram-Positive Bacteria	Chlamydias, Rickettsias†	Fungi	Protozoa	Helminths	Viruses	
		\leftarrow Penicillin \longrightarrow		←Ketocon- azole→		←Niclosamide→ (tapeworms)		
←——Sti	reptom <mark>y</mark> cin ————	-+			←Mefloquine→ (malaria)			
							←Acyclovir→	
						←Praziquantel→ (flukes)		
		— Tetracycline —	· · ·					
←—lsoniazid →								
*Growth of these be	acteria frequently occurs w	vithin macrophages or	tissue structures.					

[†]Obligately intracellular bacteria.

The Action of Antimicrobial Drugs

- Broad-spectrum
- Superinfection
- Bactericidal
- Bacteriostatic

The Action of Antimicrobial Drugs



The Action of Antimicrobial Drugs



(b) In the diagram the black arrows indicate the different points at which chloramphenicol, erythromycin, the tetracyclines, and streptomycin exert their activities.

- Penicillin
 - Natural penicillins
 - Semisynthetic penicillins

Penicillins



(a) Natural (antibiotic) penicillins



- Penicillin
 - Penicilinase-resistant penicillins
 - Extended-spectrum penicillins
 - Penicillins + β -lactamase inhibitors
 - Carbapenems
 - Monobactam



- Cephalosporins
 - 2nd, 3rd, and 4th generations more effective against gram-negatives





Penicillin nucleus

- Polypeptide antibiotics
 - Bacitracin
 - Topical application
 - Against gram-positives
 - Vancomycin
 - Glycopeptide
 - Important "last line" against antibiotic resistant S. aureus

- Antimycobacterium antibiotics
 - Isoniazid (INH)
 - Inhibits mycolic acid synthesis
 - Ethambutol
 - Inhibits incorporation of mycolic acid

Antibacterial Antibiotics Inhibitors of Protein Synthesis

- Chloramphenicol
 - Broad spectrum
 - Binds 50S subunit, inhibits peptide bond formation
- Aminoglycosides
 - Streptomycin, neomycin, gentamycin
 - Broad spectrum
 - Changes shape of 30S subunit

Antibacterial Antibiotics Inhibitors of Protein Synthesis

- Tetracyclines
 - Broad spectrum
 - Interferes with tRNA attachment
- Macrolides
 - Gram-positives
 - Binds 50S, prevents translocation
- Erythromycin
 - Gram-positives
 - Binds 50S, prevents translocation

Antibacterial Antibiotics Inhibitors of Protein Synthesis

- Streptogramins
 - Gram-positives
 - Binds 50S subunit, inhibits translation
- Synercid
 - Gram-positives
 - Binds 50S subunit, inhibits translation
- Oxazolidinones
 - Linezolid
 - Gram-positives
 - Binds 50S subunit, prevents formation of 70S ribosome

Antibacterial Antibiotics Injury to the Plasma Membrane

- Polymyxin B
 - Topical
 - Combined with bacitracin and neomycin in overthe-counter preparation

Antibacterial Antibiotics Inhibitors of Nucleic Acid Synthesis

- Rifamycin
 - Inhibits RNA synthesis
 - Antituberculosis
- Quinolones and fluoroquinolones
 - Ciprofloxacin
 - Inhibits DNA gyrase
 - Urinary tract infections

Antibacterial Antibiotics Competitive Inhibitors

- Sulfonamides (Sulfa drugs)
 - Inhibit folic acid synthesis
 - Broad spectrum



